

# PATENT COOPERATION TREATY

**PCT**

## NOTIFICATION OF THE RECORDING OF A CHANGE

(PCT Rule 92bis.1 and  
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON

Date of mailing (day/month/year) 10 April 2001 (10.04.01)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference A01001M	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)

1. The following indications appeared on record concerning:		
<input checked="" type="checkbox"/> the applicant	<input type="checkbox"/> the inventor	<input type="checkbox"/> the agent <input type="checkbox"/> the common representative
Name and Address	State of Nationality	State of Residence
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:		
<input checked="" type="checkbox"/> the person	<input type="checkbox"/> the name	<input type="checkbox"/> the address <input type="checkbox"/> the nationality <input type="checkbox"/> the residence
Name and Address INSTITUTE OF MEDICINAL MOLECULAR DESIGN. INC. Kadokawa-hongo Building 4th floor 24-5, Hongo 5-chome Bunkyo-ku Tokyo 113-0033 Japan	State of Nationality JP	State of Residence JP
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
3. Further observations, if necessary: <b>The applicant identified in Box 2 should be included on the record as an additional applicant for all designated States except US.</b>		
4. A copy of this notification has been sent to:		
<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned	
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned	
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other:	

<p>The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland</p> <p>Facsimile No.: (41-22) 740.14.35</p>	<p>Authorized officer  Masashi HONDA</p> <p>Telephone No.: (41-22) 338.83.38</p>
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## PATENT COOPERATION TREATY

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 NOTIFICATION OF THE RECORDING  
OF A CHANGE

 (PCT Rule 92bis.1 and  
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

 IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON

Date of mailing (day/month/year) 10 April 2001 (10.04.01)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference A01001M	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)

1. The following indications appeared on record concerning:		
<input checked="" type="checkbox"/> the applicant	<input checked="" type="checkbox"/> the inventor	<input type="checkbox"/> the agent <input type="checkbox"/> the common representative
Name and Address ENDO, Yasuyuki Cosmo Chigasaki Belle Seine 2-47-303, Hibarigaoka Chigaraki-shi Kanagawa 253-0027 Japan (Applicant and Inventor for all designated States)	State of Nationality JP	State of Residence JP
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:		
<input checked="" type="checkbox"/> the person	<input type="checkbox"/> the name	<input type="checkbox"/> the address <input type="checkbox"/> the nationality <input type="checkbox"/> the residence
Name and Address ENDO, Yasuyuki Cosmo Chigasaki Belle Seine 2-47-303, Hibarigaoka Chigaraki-shi Kanagawa 253-0027 Japan (Applicant for US only and Inventor for all designated States)	State of Nationality JP	State of Residence JP
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	
3. Further observations, if necessary:		
4. A copy of this notification has been sent to:		
<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned	
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned	
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other:	

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No.: (41-22) 740.14.35	Authorized officer  Masashi HONDA  Telephone No.: (41-22) 338.83.38
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# PATENT COOPERATION TREATY

PCT

## NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Assistant Commissioner for Patents  
United States Patent and Trademark  
Office  
Box PCT  
Washington, D.C.20231  
ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

Date of mailing: 27 July 2000 (27.07.00)	
International application No.: PCT/JP00/00285	Applicant's or agent's file reference: A01001M
International filing date: 21 January 2000 (21.01.00)	Priority date: 22 January 1999 (22.01.99)
Applicant: ENDO, Yasuyuki	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International preliminary Examining Authority on:  
21 January 2000 (21.01.00)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was  
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer:  J. Zahra Telephone No.: (41-22) 338.83.38
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## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference A01001M	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)	Priority date (day/month/year) 22 January 1999 (22.01.99)
International Patent Classification (IPC) or national classification and IPC A61K 31/69, A61P 3/02, 3/10, 5/30, 9/10, 29/00, 35/00, 37/06, C07F 5/02		
Applicant ENDO, Yasuyuki		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 3 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of \_\_\_\_\_ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 21 January 2000 (21.01.00)	Date of completion of this report 16 August 2000 (16.08.2000)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP00/00285

## I. Basis of the report

## 1. With regard to the elements of the international application:\*

- ☒ the international application as originally filed
- ☐ the description:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the claims:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, as amended (together with any statement under Article 19  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the drawings:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
pages \_\_\_\_\_, as originally filed  
pages \_\_\_\_\_, filed with the demand  
pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

2,6

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

Novelty (N)	Claims	2,6	YES
	Claims	1,3-5,7	NO
Inventive step (IS)	Claims	2,6	YES
	Claims	1,3-5,7	NO
Industrial applicability (IA)	Claims	1-7	YES
	Claims		NO

**2. Citations and explanations**

Document 1: JP, 5-163296, A  
Document 2: JP, 55-154982, A  
Document 3: EP, 205326, A2  
Document 4: J. Chem. Soc., Dalton Trans., 1998, (3), pages 401-11  
Document 5: Polymer, 1997, 38 (17), pages 4539-46  
Document 6: J. Chem. Soc., Perkin Trans. 1, 1993, (9), pages 1051-3  
Document 7: J. Mater. Chem., 1992, 2 (8), pages 793-804  
Document 8: J. Org. Chem., 1990, 55 (25), pages 6065-6  
Document 9: Abstract No. 196733, Chemical Abstracts, Vol. 89  
Document 10: Abstract No. 6351, Chemical Abstracts, Vol. 89  
Document 11: Faserforsch. Textiltech., 1975, 26 (6), pages 261-5  
Document 12: Abstract No. 17164, Chemical Abstracts, Vol. 82  
Document 13: Vysokomol. Soedin., Ser. A, 1973, 15 (6), pages 1227-33  
Document 14: Abstract No. 46645, Chemical Abstracts, Vol. 76  
Document 15: Abstract No. 98063, Chemical Abstracts, Vol. 75; & Zh. Obshch. Khim., 1971, 41 (6), pages 1300-3; compounds having the registry numbers (RNs) 33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-9, 33808-97-8, 33808-96-7  
Document 16: Abstract No. 39047, Chemical Abstracts, Vol. 71  
Document 17: Abstract No. 39684, Chemical Abstracts, Vol. 68  
Document 18: Abstract No. 95101, Chemical Abstracts, Vol. 66  
Document 19: Chemical Abstracts, Vol. 65, paragraph no. 16989, columns f-g  
Document 20: Chemical Abstracts, Vol. 65, paragraph no. 10604, columns g-h  
Document 21: Chemical Abstracts, Vol. 64, paragraph no. 11235, columns d-h  
Document 22: Chemical Abstracts, Vol. 63, paragraph no. 17865, columns f-h

**Explanation**

Above-mentioned documents 6 and 8 cited in the ISR disclose the fact that the compounds disclosed in claims 1 and 3 are used as medicinal drugs. The inventions disclosed in claims 1 and 3 are thus considered neither to be novel nor to involve an inventive step.

Similarly, above-mentioned documents 1-3, 6 and 8 disclose the fact that compounds having a dicarba-closododecaboranyl group are pharmacologically active. The invention disclosed in claim 4 is thus considered neither to be novel nor to involve an inventive step.

Similarly, above-mentioned documents 4-22 disclose the compounds disclosed in claim 5. The invention disclosed in claim 5 is thus considered neither to be novel nor to involve an inventive step.

Above-mentioned documents 4 and 6 disclose the compounds disclosed in claim 7. The invention disclosed in claim 7 is thus considered neither to be novel nor to involve an inventive step.

There are no disclosures or suggestions in above-mentioned documents 1-22 concerning compounds having the chemical structures disclosed in claims 2 and 6, or concerning the use of such compounds in medicinal drugs. The inventions of claims 2 and 6 are thus considered to be novel and to involve an inventive step.

ST

特 許 協 力 条 約

P C T


国際予備審査報告

(法第12条、法施行規則第56条)  
〔PCT36条及びPCT規則70〕

REC'D 04 SEP 2000
WIPO PCT

出願人又は代理人 の書類記号 A01001M	今後の手続きについては、国際予備審査報告の送付通知（様式PCT/ IPEA/416）を参照すること。	
国際出願番号 PCT/JPO0/00285	国際出願日 (日.月.年) 21.01.00	優先日 (日.月.年) 22.01.99
国際特許分類 (IPC) Int.Cl <sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00, 37/06, C07F5/02		
出願人 (氏名又は名称) 遠藤 泰之		

1. 国際予備審査機関が作成したこの国際予備審査報告を法施行規則第57条 (PCT36条) の規定に従い送付する。
2. この国際予備審査報告は、この表紙を含めて全部で <u>4</u> ページからなる。  <input type="checkbox"/> この国際予備審査報告には、附属書類、つまり補正されて、この報告の基礎とされた及び/又はこの国際予備審査機関に対してした訂正を含む明細書、請求の範囲及び/又は図面も添付されている。 (PCT規則70.16及びPCT実施細則第607号参照) この附属書類は、全部で <u>          </u> ページである。
3. この国際予備審査報告は、次の内容を含む。  I <input checked="" type="checkbox"/> 国際予備審査報告の基礎  II <input type="checkbox"/> 優先権  III <input type="checkbox"/> 新規性、進歩性又は産業上の利用可能性についての国際予備審査報告の不作成  IV <input type="checkbox"/> 発明の単一性の欠如  V <input checked="" type="checkbox"/> PCT35条(2)に規定する新規性、進歩性又は産業上の利用可能性についての見解、それを裏付けるための文献及び説明  VI <input type="checkbox"/> ある種の引用文献  VII <input type="checkbox"/> 国際出願の不備  VIII <input type="checkbox"/> 国際出願に対する意見

国際予備審査の請求書を受理した日 21.01.00	国際予備審査報告を作成した日 16.08.00	
名称及びあて先 日本国特許庁 (IPEA/JP) 郵便番号100-8915 東京都千代田区霞が関三丁目4番3号	特許庁審査官 (権限のある職員)  富永 保 印 	4P 9159
電話番号 03-3581-1101 内線 3490		

## V. 新規性、進歩性又は産業上の利用可能性についての法第12条(PCT35条(2))に定める見解、それを裏付ける文献及び説明

## 1. 見解

新規性(N)	請求の範囲	2, 6	有
	請求の範囲	1, 3-5, 7	無
進歩性(IS)	請求の範囲	2, 6	有
	請求の範囲	1, 3-5, 7	無
産業上の利用可能性(IA)	請求の範囲	1-7	有
	請求の範囲		無

## 2. 文献及び説明(PCT規則70.7)

- 文献1: JP, 5-163296, A  
 文献2: JP, 55-154982, A  
 文献3: EP, 205326, A2  
 文献4: J. Chem. Soc., Dalton Trans., (1998), (3), p. 401-11  
 文献5: Polymer, (1997), 38(17), p. 4539-46  
 文献6: J. Chem. Soc. Perkin. Trans. 1, (1993), (9), p. 1051-3  
 文献7: J. Mater. Chem., (1992), 2(8), p. 793-804  
 文献8: J. Org. Chem., (1990), 55(25), p. 6065-6  
 文献9: Chemical Abstracts, vol. 89, 要約番号196733  
 文献10: Chemical Abstracts, vol. 89, 要約番号6351  
 文献11: Faserforsch. Textiltech., (1975), 26(6), p. 261-5  
 文献12: Chemical Abstracts, vol. 82, 要約番号17164  
 文献13: Vysokomol. Soedin., Ser. A, (1973), 15(6), p. 1227-33  
 文献14: Chemical Abstracts, vol. 76, 要約番号46645  
 文献15: Chemical Abstracts, vol. 75, 要約番号98063&Zh. Obshch. Khim., (1971), 41(6), p. 1300-3レジストリー番号(RN)=33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-9, 33808-97-8, 33808-96-7の化合物  
 文献16: Chemical Abstracts, vol. 71, 要約番号39047  
 文献17: Chemical Abstracts, vol. 68, 要約番号39684  
 文献18: Chemical Abstracts, vol. 66, 要約番号95101  
 文献19: Chemical Abstracts, vol. 65, 段落番号16989, f-g段  
 文献20: Chemical Abstracts, vol. 65, 段落番号10604, g-h段  
 文献21: Chemical Abstracts, vol. 64, 段落番号11235, d-h段  
 文献22: Chemical Abstracts, vol. 63, 段落番号17865, f-h段

## 説明:

国際調査報告で引用された上記文献6, 8には請求の範囲1, 3に記載された化合物を医薬として用いる旨が記載されているから、請求の範囲1, 3に記載された発明は新規性・進歩性を有しない。

同じく上記文献1-3, 6, 8にはジカルバクロゾードデカボラン-イル基を有する化合物が薬理活性を有する旨が記載されているから、請求の範囲4に記載された発明は新規性・進歩性を有しない。

同じく上記文献4-22には請求の範囲5に記載された化合物が記載されているから、請求項5に記載された発明は新規性・進歩性を有しない。



補充欄 (いずれかの欄の大きさが足りない場合に使用すること)

## 第 V 欄の続き

上記文献4, 6には請求の範囲7に記載された化合物が記載されているから、請求の範囲7に記載された発明は新規性・進歩性を有しない。

上記文献1-22には請求の範囲2, 6に記載された化学構造を有する化合物、該化合物を医薬として用いることは記載も示唆もされていないから、これら発明は新規性・進歩性を有する。

## PATENT COOPERATION TREATY

PCT

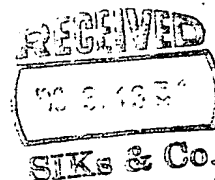
NOTIFICATION OF RECEIPT OF  
RECORD COPY

(PCT Rule 24.2(a))

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON



Date of mailing (day/month/year) 10 February 2000 (10.02.00)	IMPORTANT NOTIFICATION
Applicant's or agent's file reference A01001M	International application No. PCT/JP00/00285

The applicant is hereby notified that the International Bureau has received the record copy of the international application as detailed below.

Name(s) of the applicant(s) and State(s) for which they are applicants:

ENDO, Yasuyuki (all designated States)

International filing date : 21 January 2000 (21.01.00)  
Priority date(s) claimed : 22 January 1999 (22.01.99)  
30 September 1999 (30.09.99)  
Date of receipt of the record copy  
by the International Bureau : 04 February 2000 (04.02.00)  
List of designated Offices :

AP : GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW

EA : AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP : AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

OA : BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

National : AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB,  
GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,  
MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

## ATTENTION

The applicant should carefully check the data appearing in this Notification. In case of any discrepancy between these data and the indications in the international application, the applicant should immediately inform the International Bureau.

In addition, the applicant's attention is drawn to the information contained in the Annex, relating to:

- ☒ time limits for entry into the national phase  
☒ confirmation of precautionary designations  
☒ requirements regarding priority documents

A copy of this Notification is being sent to the receiving Office and to the International Searching Authority.

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Authorized officer:

Masashi HONDA

Telephone No. (41-22) 338.83.38

## PATENT COOPERATION TREATY

PCT

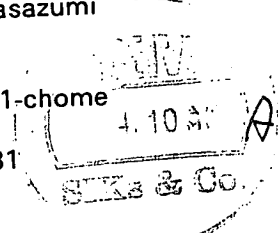
NOTIFICATION CONCERNING  
SUBMISSION OR TRANSMITTAL  
OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON



Date of mailing (day/month/year) 23 March 2000 (23.03.00)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference A01001M	
International application No. PCT/JP00/00285	
International publication date (day/month/year) Not yet published	
Applicant ENDO, Yasuyuki	International filing date (day/month/year) 21 January 2000 (21.01.00)  Priority date (day/month/year) 22 January 1999 (22.01.99)

- The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
- This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.
- An asterisk(\*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
- The letters "NR" appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

<u>Priority date</u>	<u>Priority application No.</u>	<u>Country or regional Office or PCT receiving Office</u>	<u>Date of receipt of priority document</u>
22 Janu 1999 (22.01.99)	11/14261	JP	10 Marc 2000 (10.03.00)
30 Sept 1999 (30.09.99)	11/280257	JP	10 Marc 2000 (10.03.00)

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Authorized officer

S. Mafia

Telephone No. (41-22) 338.83.38

Facsimile No. (41-22) 740.14.35

003188678

## PATENT COOPERATION TREATY

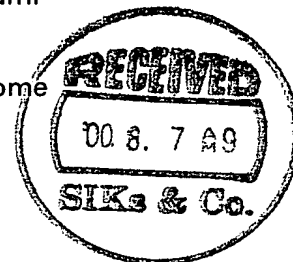
PCT

NOTICE INFORMING THE APPLICANT OF THE  
COMMUNICATION OF THE INTERNATIONAL  
APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON

Date of mailing (day/month/year) 27 July 2000 (27.07.00)		IMPORTANT NOTICE	
Applicant's or agent's file reference A01001M			
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)	Priority date (day/month/year) 22 January 1999 (22.01.99)	
Applicant ENDO, Yasuyuki			

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:  
AU,JP,KR,US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:

AE,AL,AM,AP,AT,AZ,BA,BB,BG,BR,BY,CA,CH,CN,CR,CU,CZ,DE,DK,DM,EA,EE,EP,ES,FI,GB,GD,  
GE,GH,GM,HR,HU,ID,IL,IN,IS,KE,KG,KZ,LC,LK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MX,NO,  
NZ,OA,PL,PT,RO,RU,SD,SE,SG,SI,SK,SL,TJ,TM,TR,TT,TZ,UA,UG,UZ,VN,YU,ZA,ZW

The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on  
27 July 2000 (27.07.00) under No. WO 00/43016

**REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)**

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a **demand for international preliminary examination** must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

**REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))**

If the applicant wishes to proceed with the international application in the **national phase**, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer  J. Zahra  Telephone No. (41-22) 338.83.38
--	---

特許協力条約

発信人 日本国特許庁（国際予備審査機関）

出願人代理人  
今村 正純

あて名

〒 104-0031  
東京都中央区京橋一丁目5番5号  
KRFビル5階



PCT見解書

(法第13条)  
[PCT規則66]

発送日  
(日.月.年)

25.04.00

出願人又は代理人  
の書類記号 A01001M

応答期間

上記発送日から 2 月以内

国際出願番号  
PCT/JPO0/00285

国際出願日  
(日.月.年) 21.01.00

優先日  
(日.月.年) 22.01.99

国際特許分類 (IPC)

Int. Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00, 37/06, C07F5/02

出願人 (氏名又は名称)

遠藤 泰之

1. これは、この国際予備審査機関が作成した 1 回目の見解書である。

2. この見解書は、次の内容を含む。

- I ☒ 見解の基礎
- II ☐ 優先権
- III ☐ 新規性、進歩性又は産業上の利用可能性についての見解の不作成
- IV ☐ 発明の単一性の欠如
- V ☒ 法第13条 (PCT規則66.2(a)(ii)) に規定する新規性、進歩性又は産業上の利用可能性についての見解、それを裏付けるための文献及び説明
- VI ☐ ある種の引用文献
- VII ☐ 国際出願の不備
- VIII ☐ 国際出願に対する意見

3. 出願人は、この見解書に応答することが求められる。  
いつ?

上記応答期間を参照すること。この応答期間に間に合わないときは、出願人は、法第13条 (PCT規則66.2(d)) に規定するとおり、その期間の経過前に国際予備審査機関に期間延長を請求することができる。ただし、期間延長が認められるのは合理的な理由があり、かつスケジュールに余裕がある場合に限られることに注意されたい。

どのように? 法第13条 (PCT規則66.3) の規定に従い、答弁書及び必要な場合には、補正書を提出する。補正書の様式及び言語については、法施行規則第62条 (PCT規則66.8及び66.9) を参照すること。

なお 補正書を提出する追加の機会については、法施行規則第61条の2 (PCT規則66.4) を参照すること。補正書及び/又は答弁書の審査官による考慮については、PCT規則66.4の2を参照すること。審査官との非公式の連絡については、PCT規則66.6を参照すること。

応答がないときは、国際予備審査報告は、この見解書に基づき作成される。

4. 国際予備審査報告作成の最終期限は、PCT規則69.2の規定により 22.05.01 である。

名称及びあて先  
日本国特許庁 (IPEA/JP)  
郵便番号 100-8915  
東京都千代田区霞が関三丁目4番3号

特許庁審査官 (権限のある職員)  
富永 保

4 P 9159

電話番号 03-3581-1101 内線 3490

## I. 見解の基礎

1. この見解書は下記の出願書類に基づいて作成された。(法第6条(PCT14条)の規定に基づく命令に回答するために提出された差替え用紙は、この見解書において「出願時」とする。)

☒ 出願時の国際出願書類

- |                                     |                |                      |
|-------------------------------------|----------------|----------------------|
| <input type="checkbox"/> 明細書        | 第 _____ ページ、   | 出願時に提出されたもの          |
| <input type="checkbox"/> 明細書        | 第 _____ ページ、   | 国際予備審査の請求書と共に提出されたもの |
| <input type="checkbox"/> 明細書        | 第 _____ ページ、   | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> 請求の範囲      | 第 _____ 項、     | 出願時に提出されたもの          |
| <input type="checkbox"/> 請求の範囲      | 第 _____ 項、     | PCT19条の規定に基づき補正されたもの |
| <input type="checkbox"/> 請求の範囲      | 第 _____ 項、     | 国際予備審査の請求書と共に提出されたもの |
| <input type="checkbox"/> 請求の範囲      | 第 _____ 項、     | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> 図面         | 第 _____ ページ/図、 | 出願時に提出されたもの          |
| <input type="checkbox"/> 図面         | 第 _____ ページ/図、 | 国際予備審査の請求書と共に提出されたもの |
| <input type="checkbox"/> 図面         | 第 _____ ページ/図、 | 付の書簡と共に提出されたもの       |
| <input type="checkbox"/> 明細書の配列表の部分 | 第 _____ ページ、   | 出願時に提出されたもの          |
| <input type="checkbox"/> 明細書の配列表の部分 | 第 _____ ページ、   | 国際予備審査の請求書と共に提出されたもの |
| <input type="checkbox"/> 明細書の配列表の部分 | 第 _____ ページ、   | 付の書簡と共に提出されたもの       |

2. 上記の出願書類の言語は、下記に示す場合を除くほか、この国際出願の言語である。

上記の書類は、下記の言語である \_\_\_\_\_ 語である。

- ☐ 国際調査のために提出されたPCT規則23.1(b)にいう翻訳文の言語
- ☐ PCT規則48.3(b)にいう国際公開の言語
- ☐ 国際予備審査のために提出されたPCT規則55.2または55.3にいう翻訳文の言語

3. この国際出願は、ヌクレオチド又はアミノ酸配列を含んでおり、次の配列表に基づき見解書を作成した。

- ☐ この国際出願に含まれる書面による配列表
- ☐ この国際出願と共に提出されたフレキシブルディスクによる配列表
- ☐ 出願後に、この国際予備審査(または調査)機関に提出された書面による配列表
- ☐ 出願後に、この国際予備審査(または調査)機関に提出されたフレキシブルディスクによる配列表
- ☐ 出願後に提出した書面による配列表が出願時における国際出願の開示の範囲を超える事項を含まない旨の陳述書の提出があった
- ☐ 書面による配列表に記載した配列とフレキシブルディスクによる配列表に記載した配列が同一である旨の陳述書の提出があった。

4. 補正により、下記の書類が削除された。

- ☐ 明細書 第 \_\_\_\_\_ ページ
- ☐ 請求の範囲 第 \_\_\_\_\_ 項
- ☐ 図面 図面の第 \_\_\_\_\_ ページ/図

5. ☐ この見解書は、補充欄に示したように、補正が出願時における開示の範囲を越えてされたものと認められるので、その補正がされなかったものとして作成した。(PCT規則70.2(c))

V. 新規性、進歩性又は産業上の利用可能性についての法第13条（PCT規則66.2(a)(ii)に定める見解、それを裏付ける文献及び説明

1. 見解

新規性 (N)	請求の範囲	2, 6	有
	請求の範囲	1, 3-5, 7	無
進歩性 (IS)	請求の範囲	2, 6	有
	請求の範囲	1, 3-5, 7	無
産業上の利用可能性 (IA)	請求の範囲	1-7	有
	請求の範囲		無

2. 文献及び説明

文献1: JP, 5-163296, A  
 文献2: JP, 55-154982, A  
 文献3: EP, 205326, A2  
 文献4: J. Chem. Soc., Dalton Trans., (1998), (3), p. 401-11  
 文献5: Polymer, (1997), 38(17), p. 4539-46  
 文献6: J. Chem. Soc. Perkin. Trans. 1, (1993), (9), p. 1051-3  
 文献7: J. Mater. Chem., (1992), 2(8), p. 793-804  
 文献8: J. Org. Chem., (1990), 55(25), p. 6065-6  
 文献9: Chemical Abstracts, vol. 89, 要約番号196733  
 文献10: Chemical Abstracts, vol. 89, 要約番号6351  
 文献11: Faserforsch. Textiltech., (1975), 26(6), p. 261-5  
 文献12: Chemical Abstracts, vol. 82, 要約番号17164  
 文献13: Vysokomol. Soedin., Ser. A, (1973), 15(6), p. 1227-33  
 文献14: Chemical Abstracts, vol. 76, 要約番号46645  
 文献15: Chemical Abstracts, vol. 75, 要約番号98063&Zh. Obshch. Khim., (1971), 41(6), p. 1300-3レジストリー番号(RN)=33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-9, 33808-97-8, 33808-96-7の化合物  
 文献16: Chemical Abstracts, vol. 71, 要約番号39047  
 文献17: Chemical Abstracts, vol. 68, 要約番号39684  
 文献18: Chemical Abstracts, vol. 66, 要約番号95101  
 文献19: Chemical Abstracts, vol. 65, 段落番号16989, f-g段  
 文献20: Chemical Abstracts, vol. 65, 段落番号10604, g-h段  
 文献21: Chemical Abstracts, vol. 64, 段落番号11235, d-h段  
 文献22: Chemical Abstracts, vol. 63, 段落番号17865, f-h段

説明:

国際調査報告で引用された上記文献6, 8には請求の範囲1, 3に記載された化合物を医薬として用いる旨が記載されているから、請求の範囲1, 3に記載された発明は新規性・進歩性を有しない。

同じく上記文献1-3, 6, 8にはジカルバークロゾードデカボラン-イル基を有する化合物が薬理活性を有する旨が記載されているから、請求の範囲4に記載された発明は新規性・進歩性を有しない。

同じく上記文献4-22には請求の範囲5に記載された化合物が記載されているから、請求項5に記載された発明は新規性・進歩性を有しない。

補充欄 (いずれかの欄の大きさが足りない場合に使用すること)

第 V 欄の続き

上記文献 4, 6 には請求の範囲 7 に記載された発明が記載されているから、請求の範囲 7 に記載された発明は新規性・進歩性を有しない。

上記文献 1 - 22 には請求の範囲 2, 6 に記載された発明は記載も示唆もされていないから、これら発明は新規性・進歩性を有する。



E P

US

P C T

## 国際調査報告

(法8条、法施行規則第40、41条)  
 (PCT18条、PCT規則43、44)

出願人又は代理人 の書類記号 A01001M	今後の手続きについては、国際調査報告の送付通知様式(PCT/ISA/220) 及び下記5を参照すること。	
国際出願番号 PCT/JP00/00285	国際出願日 (日.月.年) 21.01.00	優先日 (日.月.年) 22.01.99
出願人(氏名又は名称) 遠藤 泰之		

国際調査機関が作成したこの国際調査報告を法施行規則第41条(PCT18条)の規定に従い出願人に送付する。  
 この写しは国際事務局にも送付される。

この国際調査報告は、全部で 4 ページである。

☐ この調査報告に引用された先行技術文献の写しも添付されている。

## 1. 国際調査報告の基礎

a. 言語は、下記に示す場合を除くほか、この国際出願がされたものに基づき国際調査を行った。

☐ この国際調査機関に提出された国際出願の翻訳文に基づき国際調査を行った。

b. この国際出願は、ヌクレオチド又はアミノ酸配列を含んでおり、次の配列表に基づき国際調査を行った。

☐ この国際出願に含まれる書面による配列表

☐ この国際出願と共に提出されたフレキシブルディスクによる配列表

☐ 出願後に、この国際調査機関に提出された書面による配列表

☐ 出願後に、この国際調査機関に提出されたフレキシブルディスクによる配列表

☐ 出願後に提出した書面による配列表が出願時における国際出願の開示の範囲を超える事項を含まない旨の陳述書の提出があった。

☐ 書面による配列表に記載した配列とフレキシブルディスクによる配列表に記載した配列が同一である旨の陳述書の提出があった。

2. ☐ 請求の範囲の一部の調査ができない(第I欄参照)。

3. ☐ 発明の単一性が欠如している(第II欄参照)。

4. 発明の名称は ☒ 出願人が提出したものを承認する。

☐ 次に示すように国際調査機関が作成した。

5. 要約は ☒ 出願人が提出したものを承認する。

☐ 第III欄に示されているように、法施行規則第47条(PCT規則38.2(b))の規定により国際調査機関が作成した。出願人は、この国際調査報告の発送の日から1カ月以内にこの国際調査機関に意見を提出することができる。

6. 要約書とともに公表される図は、

第 \_\_\_\_\_ 図とする。 ☐ 出願人が示したとおりである。

☒ なし

☐ 出願人は図を示さなかった。

☐ 本図は発明の特徴を一層よく表している。

## A. 発明の属する分野の分類 (国際特許分類 (IPC))

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

## B. 調査を行った分野

調査を行った最小限資料 (国際特許分類 (IPC))

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース (データベースの名称、調査に使用した用語)  
CA, REGISTRY (STN)

## C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
A X	JP, 5-163296, A (サントリー株式会社), 29. 6 月. 1993 (29. 06. 93) (ファミリーなし)	1-3, 5-7 4
A X	JP, 55-154982, A (塩野義製薬株式会社), 2. 12 月. 1980 (02. 12. 80) (ファミリーなし)	1-3, 5-7 4
A X	EP, 205326, A2 (イミュノメディックス、インコーポレ イテッド), 17. 12月. 1986 (17. 12. 86) & US, 4824659, A&AU, 8658201, A& CA, 1273570, A&IL, 78993, A& JP, 62-36333, A&ZA, 8604229, A	1-3, 5-7 4

☒ C欄の続きにも文献が列挙されている。

☐ パテントファミリーに関する別紙を参照。

## \* 引用文献のカテゴリー

「A」 特に関連のある文献ではなく、一般的技術水準を示すもの

「E」 国際出願日前の出願または特許であるが、国際出願日以後に公表されたもの

「L」 優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献 (理由を付す)

「O」 口頭による開示、使用、展示等に言及する文献

「P」 国際出願日前で、かつ優先権の主張の基礎となる出願

の日の後に公表された文献

「T」 国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの

「X」 特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの

「Y」 特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&」 同一パテントファミリー文献

国際調査を完了した日

11. 04. 00

国際調査報告の発送日

25.04.00

国際調査機関の名称及びあて先

日本国特許庁 (ISA/JP)

郵便番号100-8915

東京都千代田区霞が関三丁目4番3号

特許庁審査官 (権限のある職員)

富永 保



4 P

9159

電話番号 03-3581-1101 内線 3490

C (続き) . 関連すると認められる文献		
引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
P X	ENDO Y. et al., "Structure-activity study of estrogenic agonists bearing dicarba-closo-dodecaborane. Effect of geometry and separation distance of hydroxyl groups at the ends of molecules", Bioorg. Med. Chem. Lett., (1999), 9(23), p. 3313-8	1-7
P X	ENDO Y. et al., "Estrogenic antagonists bearing sicarba-closo-dodecaborane as a hydrophobic pharmacophore", Bioorg. Med. Chem. Lett., (1999), 9(24), p. 3387-92	1-7
P X	ENDO Y. et al., "Potent estrogenic agonists bearing dicarba-closo-dodecaborane as a hydrophobic pharmacophore", J. Med. Chem., (1999), 42(9), p. 1501-4	1-7
P X	ENDO Y. et al., "Dicarba-closo-dodecaboranes as a pharmacophore. Novel potent retinoidal agonists", Chem. Pharm. Bull., (1999), 47(4), p. 585-7	1-7
P X	IIJIMA T. et al., "Dicarba-closo-dodecaboranes as a pharmacophore. Retinoidal antagonists and potential agonists", Chem. Pharm. Bull., (1999), 47(3), p. 398-404	1-7
X	FOX M.A. et al., "Transmission of electronic effects by icosahedral carboranes; skeletal carbon-13 chemical shifts and ultraviolet-visible spectra of substituted aryl-p-carboranes(1,12-dicarba-closo-dodecaboranes)", J. Chem. Soc., Dalton Trans., (1998), (3), p. 401-11	5, 7
X	COLQUHOUN H.M. et al., "Polyetherketones based on para-carborane: synthesis, sulfonation, and membrane-forming characteristics", Polymer, (1997), 38(17), p. 4539-46	5
X	PRASHAR J.K. et al., "Synthesis of carboranyl phenylalanine for potential use in neutron capture therapy of melanoma", J. Chem. Soc. Perkin. Trans. 1, (1993), (9), p. 1051-3	1, 3-5, 7
X	BROWN D.A. et al., "Polymers and ceramics based on icosahedral carboranes, model studies of the formation and hydrolytic stability of aryl ether, ketone, amide, and borane linkages between carborane units", J. Mater. Chem., (1992), 2(8), p. 793-804	5
X	NEMOTO H. et al., "The first alkylation of o-carboranes under essentially neutral conditions. Application to the synthesis of B carriers", J. Org. Chem., (1990), 55(25), p. 6065-6	1, 4, 5
X	Chemical Abstracts, vol. 89, 要約番号196733	5
X	Chemical Abstracts, vol. 89, 要約番号6351	5
X	MATOCHKIN V.S. et al., "Molecular mobility in polyarylates having difenylcarborane fragments in the chain", Faserforsch. Textiltech., (1975), 26(6), p. 261-5	5
X	Chemical Abstracts, vol. 82, 要約番号17164	5

C (続き) . 関連すると認められる文献		
引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
X	VALETSKII P.M. et al., "Polyamides of 1,7-bis(p-carboxy-phenyl)carborane", Vysokomol. Soedin., Ser. A, (1973), 15(6), p. 1227-33	5
X	Chemical Abstracts, vol. 76, 要約番号46645	5
X	Chemical Abstracts, vol. 75, 要約番号98063& ZAKHARKIN L. I. et al., "Ionization constants of 1-(p- and m-carboxyphenyl)-2-substituted o-carboranes", Zh. Obshch. Khim., (1971), 41(6), p. 1300-3 レジストリー番号(RN)=33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-93, 3808-97-8, 33808-96-7の化合物	5
X	Chemical Abstracts, vol. 71, 要約番号39047	5
X	Chemical Abstracts, vol. 68, 要約番号39684	5
X	Chemical Abstracts, vol. 66, 要約番号95101	5
X	Chemical Abstracts, vol. 65, 段落番号16989, f-g段	5
X	Chemical Abstracts, vol. 65, 段落番号10604, g-h段	5
X	Chemical Abstracts, vol. 64, 段落番号11235, d-h段	5
X	Chemical Abstracts, vol. 63, 段落番号17865, f-h段	5

## PATENT COOPERATION TREATY

PCT

From the INTERNATIONAL BUREAU

NOTIFICATION OF THE RECORDING  
OF A CHANGE(PCT Rule 92bis.1 and  
Administrative Instructions, Section 422)

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON

Date of mailing (day/month/year) 10 April 2001 (10.04.01)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference A01001M	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)

## 1. The following indications appeared on record concerning:

☒ the applicant      ☒ the inventor      ☐ the agent      ☐ the common representative

## Name and Address

ENDO, Yasuyuki  
Cosmo Chigasaki Belle Seine  
2-47-303, Hibarigaoka  
Chigasaki-shi  
Kanagawa 253-0027  
Japan  
(Applicant and Inventor for all designated States)

## State of Nationality

JP

## State of Residence

JP

## Telephone No.

## Facsimile No.

## Teleprinter No.

## 2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☒ the person      ☐ the name      ☐ the address      ☐ the nationality      ☐ the residence

## Name and Address

ENDO, Yasuyuki  
Cosmo Chigasaki Belle Seine  
2-47-303, Hibarigaoka  
Chigasaki-shi  
Kanagawa 253-0027  
Japan  
(Applicant for US only and Inventor for all  
designated States)

## State of Nationality

JP

## State of Residence

JP

## Telephone No.

## Facsimile No.

## Teleprinter No.

## 3. Further observations, if necessary:

## 4. A copy of this notification has been sent to:

<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other:

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer



Telephone No.: (41-22) 338.83.38

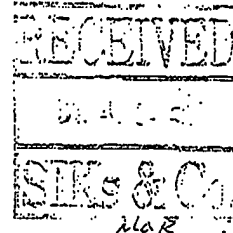
## PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING  
OF A CHANGE(PCT Rule 92bis.1 and  
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON

Date of mailing (day/month/year) 10 April 2001 (10.04.01)	<b>IMPORTANT NOTIFICATION</b>
Applicant's or agent's file reference A01001M	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)

## 1. The following indications appeared on record concerning:

☒ the applicant      ☐ the inventor      ☐ the agent      ☐ the common representative

Name and Address	State of Nationality	State of Residence
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

## 2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☒ the person      ☐ the name      ☐ the address      ☐ the nationality      ☐ the residence

Name and Address INSTITUTE OF MEDICINAL MOLECULAR DESIGN, INC. Kadokawa-hongo Building 4th floor 24-5, Hongo 5-chome Bunkyo-ku Tokyo 113-0033 Japan	State of Nationality JP	State of Residence JP
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

## 3. Further observations, if necessary:

The applicant identified in Box 2 should be included on the record as an additional applicant for all designated States except US.

## 4. A copy of this notification has been sent to:

☒ the receiving Office      ☐ the designated Offices concerned  
☐ the International Searching Authority      ☒ the elected Offices concerned  
☐ the International Preliminary Examining Authority      ☐ other:

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer  Masashi HONDA Telephone No.: (41-22) 338.83.38
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## PATENT COOPERATION TREATY

PCT

INFORMATION CONCERNING ELECTED  
OFFICES NOTIFIED OF THEIR ELECTION

(PCT Rule 61.3)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
KRF Building  
5th Floor  
5-5, Kyobashi 1-chome  
Chuo-ku  
Tokyo 104-0031  
JAPON



Date of mailing (day/month/year) 27 July 2000 (27.07.00)		
Applicant's or agent's file reference A01001M		IMPORTANT INFORMATION
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)	
Priority date (day/month/year) 22 January 1999 (22.01.99)		
Applicant ENDO, Yasuyuki		

1. The applicant is hereby informed that the International Bureau has, according to Article 31(7), notified each of the following Offices of its election:

AP : GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW

EP : AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

National : AU, BG, BR, CA, CN, CZ, DE, IL, JP, KR, MN, NO, NZ, PL, RO, RU, SE, SK, US

2. The following Offices have waived the requirement for the notification of their election; the notification will be sent to them by the International Bureau only upon their request:

EA : AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

OA : BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

National : AE, AL, AM, AT, AZ, BA, BB, BY, CH, CR, CU, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM,  
HR, HU, ID, IN, IS, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, PT, SD, SG,  
SI, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

3. The applicant is reminded that he must enter the "national phase" **before the expiration of 30 months from the priority date** before each of the Offices listed above. This must be done by paying the national fee(s) and furnishing, if prescribed, a translation of the international application (Article 39(1)(a)), as well as, where applicable, by furnishing a translation of any annexes of the international preliminary examination report (Article 36(3)(b) and Rule 74.1).

Some offices have fixed time limits expiring later than the above-mentioned time limit. For detailed information about the applicable time limits and the acts to be performed upon entry into the national phase before a particular Office, see Volume II of the PCT Applicant's Guide.

The entry into the European regional phase is postponed **until 31 months from the priority date** for all States designated for the purposes of obtaining a European patent.

<p>The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland</p> <p>Facsimile No. (41-22) 740.14.35</p>	<p>Authorized officer:  J. Zahra</p> <p>Telephone No. (41-22) 338.83.38</p>
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## PATENT COOPERATION TREATY

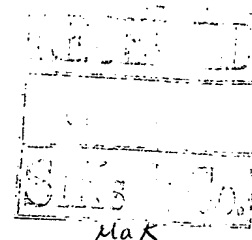
**PCT**  
**NOTIFICATION OF TRANSMITTAL**  
**OF COPIES OF TRANSLATION**  
**OF THE INTERNATIONAL PRELIMINARY**  
**EXAMINATION REPORT**

(PCT Rule 72.2)

From the INTERNATIONAL BUREAU

To:

IMAMURA, Masazumi  
 KRF Building  
 5th Floor  
 5-5, Kyobashi 1-chome  
 Chuo-ku  
 Tokyo 104-0031  
 JAPON



<b>Date of mailing (day/month/year)</b> 30 April 2001 (30.04.01)	<b>IMPORTANT NOTIFICATION</b>
<b>Applicant's or agent's file reference</b> A01001M	
<b>International application No.</b> PCT/JP00/00285	<b>International filing date (day/month/year)</b> 21 January 2000 (21.01.00)
<b>Applicant</b> INSTITUTE OF MEDICINAL MOLECULAR DESIGN. INC. et al	

**1. Transmittal of the translation to the applicant.**

The International Bureau transmits herewith a copy of the English translation made by the International Bureau of the international preliminary examination report established by the International Preliminary Examining Authority.

**2. Transmittal of the copy of the translation to the elected Offices.**

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following elected Offices requiring such translation:

EP, AT, AU, CA, CH, CN, CZ, FI, NO, NZ, PL, RO, RU, SK, US

The following elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

AP, EA, AE, AL, AM, AZ, BA, BB, BG, BR, BY, CR, CU, DE, DK, DM, EE, ES, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, PT, SD, SE, SG, SI, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, OA

**3. Reminder regarding translation into (one of) the official language(s) of the elected Office(s).**

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report.

**It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.**

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. (41-22) 740.14.35	Authorized officer  Eliott Peretti  Telephone No. (41-22) 338.83.38
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## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference A01001M	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP00/00285	International filing date (day/month/year) 21 January 2000 (21.01.00)	Priority date (day/month/year) 22 January 1999 (22.01.99)
International Patent Classification (IPC) or national classification and IPC A61K 31/69, A61P 3/02, 3/10, 5/30, 9/10, 29/00, 35/00, 37/06, C07F 5/02		
Applicant ENDO, Yasuyuki		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 3 sheets, including this cover sheet.  
  
☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of \_\_\_\_\_ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 21 January 2000 (21.01.00)	Date of completion of this report 16 August 2000 (16.08.2000)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP00/00285

## I. Basis of the report

### 1. With regard to the elements of the international application:\*

- ☒ the international application as originally filed
- ☐ the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the claims:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, as amended (together with any statement under Article 19  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the drawings:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_
- ☐ the sequence listing part of the description:  
 pages \_\_\_\_\_, as originally filed  
 pages \_\_\_\_\_, filed with the demand  
 pages \_\_\_\_\_, filed with the letter of \_\_\_\_\_

### 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

### 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

### 4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/fig \_\_\_\_\_

### 5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

2,6

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

Novelty (N)	Claims	2,6	YES
	Claims	1,3-5,7	NO
Inventive step (IS)	Claims	2,6	YES
	Claims	1,3-5,7	NO
Industrial applicability (IA)	Claims	1-7	YES
	Claims		NO

**2. Citations and explanations**

Document 1: JP, 5-163296, A  
Document 2: JP, 55-154982, A  
Document 3: EP, 205326, A2  
Document 4: J. Chem. Soc., Dalton Trans., 1998, (3), pages 401-11  
Document 5: Polymer, 1997, 38 (17), pages 4539-46  
Document 6: J. Chem. Soc., Perkin Trans. 1, 1993, (9), pages 1051-3  
Document 7: J. Mater. Chem., 1992, 2 (8), pages 793-804  
Document 8: J. Org. Chem., 1990, 55 (25), pages 6065-6  
Document 9: Abstract No. 196733, Chemical Abstracts, Vol. 89  
Document 10: Abstract No. 6351, Chemical Abstracts, Vol. 89  
Document 11: Faserforsch. Textiltech., 1975, 26 (6), pages 261-5  
Document 12: Abstract No. 17164, Chemical Abstracts, Vol. 82  
Document 13: Vysokomol. Soedin., Ser. A, 1973, 15 (6), pages 1227-33  
Document 14: Abstract No. 46645, Chemical Abstracts, Vol. 76  
Document 15: Abstract No. 98063, Chemical Abstracts, Vol. 75; & Zh. Obshch. Khim., 1971, 41 (6), pages 1300-3; compounds having the registry numbers (RNs) 33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-9, 33808-97-8, 33808-96-7  
Document 16: Abstract No. 39047, Chemical Abstracts, Vol. 71  
Document 17: Abstract No. 39684, Chemical Abstracts, Vol. 68  
Document 18: Abstract No. 95101, Chemical Abstracts, Vol. 66  
Document 19: Chemical Abstracts, Vol. 65, paragraph no. 16989, columns f-g  
Document 20: Chemical Abstracts, Vol. 65, paragraph no. 10604, columns g-h  
Document 21: Chemical Abstracts, Vol. 64, paragraph no. 11235, columns d-h  
Document 22: Chemical Abstracts, Vol. 63, paragraph no. 17865, columns f-h

**Explanation**

Above-mentioned documents 6 and 8 cited in the ISR disclose the fact that the compounds disclosed in claims 1 and 3 are used as medicinal drugs. The inventions disclosed in claims 1 and 3 are thus considered neither to be novel nor to involve an inventive step.

Similarly, above-mentioned documents 1-3, 6 and 8 disclose the fact that compounds having a dicarba-closo-dodecaboranyl group are pharmacologically active. The invention disclosed in claim 4 is thus considered neither to be novel nor to involve an inventive step.

Similarly, above-mentioned documents 4-22 disclose the compounds disclosed in claim 5. The invention disclosed in claim 5 is thus considered neither to be novel nor to involve an inventive step.

Above-mentioned documents 4 and 6 disclose the compounds disclosed in claim 7. The invention disclosed in claim 7 is thus considered neither to be novel nor to involve an inventive step.

There are no disclosures or suggestions in above-mentioned documents 1-22 concerning compounds having the chemical structures disclosed in claims 2 and 6, or concerning the use of such compounds in medicinal drugs. The inventions of claims 2 and 6 are thus considered to be novel and to involve an inventive step.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/00285

## A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CA, REGISTRY (STN)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A X	JP, 5-163296, A (SUNTORY LIMITED), 29 June, 1993 (29.06.93) (Family: none)	1-3, 5-7 4
A X	JP, 55-154982, A (Shionogi & Co., Ltd.), 02 December, 1980 (02.12.80) (Family: none)	1-3, 5-7 4
A X	EP, 205326, A2 (Immunomedics, Inc.), 17 December, 1986 (17.12.86), & US, 4824659, A & AU, 8658201, A & CA, 1273570, A & IL, 78993, A & JP, 62-36333, A & ZA, 8604229, A	1-3, 5-7 4
PX	ENDO Y. et al., "Structure-activity study of estrogenic agonists bearing dicarba-closo-dodecaborane. Effect of geometry and separation distance of hydroxyl groups at the ends of molecules", Bioorg. Med. Chem. Lett., (1999), 9(23), p.3313-8	1-7
PX	ENDO Y. et al., "Estrogenic antagonists bearing sicarba-closo-dodecaborane as a hydrophobic pharmacophore", Bioorg. Med. Chem. Lett., (1999), 9(24), p.3387-92	1-7

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

\* Special categories of cited documents:  
 "A" document defining the general state of the art which is not considered to be of particular relevance  
 "E" earlier document but published on or after the international filing date  
 "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)  
 "O" document referring to an oral disclosure, use, exhibition or other means  
 "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention  
 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone  
 "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art  
 "&" document member of the same patent family

Date of the actual completion of the international search  
11 April, 2000 (11.04.00)

Date of mailing of the international search report  
25 April, 2000 (25.04.00)

Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/00285

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
PX	ENDO Y. et al., "Potent estrogenic agonists bearing dicarba-closo-dodecaborane as a hydrophobic pharmacophore", (1999), 42(9), p.1501-4	1-7
PX	ENDO Y. et al., "Dicarba-closo-dodecaboranes as pharmacophore. Novel potent retinoidal agonists", (1999), 47(4), p.585-7	1-7
PX	IIJIMA T. et al., "Dicarba-closo-dodecaboranes as a pharma-cophore. Retinoidal antagonists and potential agonists", Chem. Pharm. Bull., (1999), 47(3), p.398-404	1-7
X	FOX M.A. et al., "Transmission of electronic effects by icosahedral carboranes; skeletal carbon-13 chemical shifts and ultraviolet-visible spectra of substituted aryl-p-carboranes (1,12-dicarba-closo-dodecaboranes)", J.Chem.Soc., Dalton Trans., (1998), (3)p.401-11	5,7
X	COLQUHOUN H.M. et al., "Polyetherketones based on para-carborane: synthesis, sulfonation, and membrane-forming characteristics", Polymer, (1997), 38(17), p.4539-46	5
X	PRASHAR J.K. et al., "Synthesis of carboranyl phenylalanine for potential use in neutron capture therapy of melanoma", J.Chem.Soc.Perkin.Trans., (1993), (9), p.1051-3	1,3-5,7
X	BROWN D.A. et al., "Polymers and ceramics based on icosahedral carbranes, model studies of the formation and hydrolytic stability of aryl ether, ketone, amide, and borane linkages between carborane units", J.Mater.Chem., (1992), 2(8), p.793-804	5
X	NEMOTO H. et al., "The first alkylation of o-carboranes under essentially neutral conditions. Application to the synthesis of B carriers", J. Org. Chem., (1990), 55(25), p.6065-6	1,4,5
X	Chemical Abstracts, vol.89, No. 196733	5
X	Chemical Abstracts, vol.89, No. 6351	5
X	MATOCHKIN V.S. et al., "Molecular mobility in polyarylates having difenylcarborane fragments in the chain", Faserforsch. Textiltech., (1975), 26(6), p.261-5	5
X	Chemical Abstracts, vol.82, No.17164	5
X	VALETSKII P.M. et al., "Polyamides of 1,7-bis (p-carboxy-phenyl) carborane", Vysokomol. Soedin., Ser.A, (1973), 15(6), p.1227-33	5
X	Chemical Abstracts, vol.76, No.46645	5
X	Chemical Abstracts, vol.75, No.98063& ZAKHARKIN L.I. et al., "Ionization constants of 1-(p- and m-carboxyphenyl)-2-substituted o-carboranes", Zh. Obshch. Khim., (1971), 41(6), p.1300-3 Registry Nos. of compound 33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-9, 33808-97-8	5

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/00285

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	33808-96-7	
X	Chemical Abstracts, vol.71, No.39047	5
X	Chemical Abstracts, vol.68, No.39684	5
X	Chemical Abstracts, vol.66, No.95101	5
X	Chemical Abstracts, vol.65, Par. No. 16989,f-g	5
X	Chemical Abstracts, vol.65, Par. No. 10604,g-h	5
X	Chemical Abstracts, vol.64, Par. No. 11235,d-h	5
X	Chemical Abstracts, vol.63, Par. No. 17865,f-h	5

## A. 発明の属する分野の分類 (国際特許分類 (IPC))

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

## B. 調査を行った分野

## 調査を行った最小限資料 (国際特許分類 (IPC))

Int.Cl<sup>7</sup> A61K31/69, A61P3/02, 10, 5/30, 9/10, 29/00, 35/00,  
A61P37/06, C07F5/02

最小限資料以外の資料で調査を行った分野に含まれるもの

## 国際調査で使用した電子データベース (データベースの名称、調査に使用した用語)

CA, REGISTRY (STN)

## C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
A X	J P, 5-163296, A (サントリー株式会社), 29. 6 月. 1993 (29. 06. 93) (ファミリーなし)	1-3, 5-7 4
A X	J P, 55-154982, A (塩野義製薬株式会社), 2. 12 月. 1980 (02. 12. 80) (ファミリーなし)	1-3, 5-7 4
A X	EP, 205326, A2 (イミヌノメディックス、インコーポレ イテッド), 17. 12月. 1986 (17. 12. 86) & US, 4824659, A&AU, 8658201, A& CA, 1273570, A&IL, 78993, A& J P, 62-36333, A&ZA, 8604229, A	1-3, 5-7 4

☒ C欄の続きにも文献が列挙されている。☐ パテントファミリーに関する別紙を参照。

## \* 引用文献のカテゴリー

「A」 特に関連のある文献ではなく、一般的技術水準を示すもの

「E」 国際出願日前の出願または特許であるが、国際出願日以後に公表されたもの

「L」 優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献 (理由を付す)

「O」 口頭による開示、使用、展示等に言及する文献

「P」 国際出願日前で、かつ優先権の主張の基礎となる出願

の日の後に公表された文献

「T」 国際出願日又は優先日後に公表された文献であって出願と矛盾するものではなく、発明の原理又は理論の理解のために引用するもの

「X」 特に関連のある文献であって、当該文献のみで発明の新規性又は進歩性がないと考えられるもの

「Y」 特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&amp;」 同一パテントファミリー文献

国際調査を完了した日

11. 04. 00

国際調査報告の発送日

25.04.00

国際調査機関の名称及びあて先

日本国特許庁 (ISA/JP)

郵便番号 100-8915

東京都千代田区霞が関三丁目4番3号

特許庁審査官 (権限のある職員)

富永 保

4 P

9159

電話番号 03-3581-1101 内線 3490

C (続き) 関連すると認められる文献		
引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
P X	ENDO Y. et al., "Structure-activity study of estrogenic agonists bearing dicarba-closo-dodecaborane. Effect of geometry and separation distance of hydroxyl groups at the ends of molecules", Bioorg. Med. Chem. Lett., (1999), 9 (23), p. 3313-8	1 - 7
P X	ENDO Y. et al., "Estrogenic antagonists bearing sicarba-closo-dodecaborane as a hydrophobic pharmacophore", Bioorg. Med. Chem. Lett., (1999), 9 (24), p. 3387-92	1 - 7
P X	ENDO Y. et al., "Potent estrogenic agonists bearing dicarba-closo-dodecaborane as a hydrophobic pharmacophore", J. Med. Chem., (1999), 42 (9), p. 1501-4	1 - 7
P X	ENDO Y. et al., "Dicarba-closo-dodecaboranes as a pharmacophore. Novel potent retinoidal agonists", Chem. Pharm. Bull., (1999), 47 (4), p. 585-7	1 - 7
P X	IIJIMA T. et al., "Dicarba-closo-dodecaboranes as a pharmacophore. Retinoidal antagonists and potential agonists", Chem. Pharm. Bull., (1999), 47 (3), p. 398-404	1 - 7
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X	PRASHAR J. K. et al., "Synthesis of carboranyl phenylalanine for potential use in neutron capture therapy of melanoma", J. Chem. Soc. Perkin. Trans. 1, (1993), (9), p. 1051-3	1, 3 - 5, 7
X	BROWN D. A. et al., "Polymers and ceramics based on icosahedral carboranes, model studies of the formation and hydrolytic stability of aryl ether, ketone, amide, and borane linkages between carborane units", J. Mater. Chem., (1992), 2 (8), p. 793-804	5
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X	Chemical Abstracts, vol. 89, 要約番号196733	5
X	Chemical Abstracts, vol. 89, 要約番号6351	5
X	MATOCHKIN V. S. et al., "Molecular mobility in polyarylates having difenylcarborane fragments in the chain", Faserforsch. Textiltech., (1975), 26 (6), p. 261-5	5
X	Chemical Abstracts, vol. 82, 要約番号17164	5



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X	Chemical Abstracts, vol. 75, 要約番号98063& ZAKHARKIN L. I. et al., "Ionization constants of 1-(p- and m-carboxyphenyl)-2-substituted o-carboranes", Zh. Obshch. Khim., (1971), 41 (6), p. 1300-3 レジストリー番号(RN)=33809-03-9, 33809-02-8, 33809-01-7, 33809-00-6, 33808-99-0, 33808-98-93, 3808-97-8, 33808-96-7の化合物	5
X	Chemical Abstracts, vol. 71, 要約番号39047	5
X	Chemical Abstracts, vol. 68, 要約番号39684	5
X	Chemical Abstracts, vol. 66, 要約番号95101	5
X	Chemical Abstracts, vol. 65, 段落番号16989, f-g段	5
X	Chemical Abstracts, vol. 65, 段落番号10604, g-h段	5
X	Chemical Abstracts, vol. 64, 段落番号11235, d-h段	5
X	Chemical Abstracts, vol. 63, 段落番号17865, f-h段	5



Creation date: 01-16-2004  
Indexing Officer: NDINH3 - NGUYET DINH  
Team: OIPEBackFileIndexing  
Dossier: 09868934

Legal Date: 10-04-2001

No.	Doccode	Number of pages
1	LET.	4

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